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NEWS 8 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements
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NEWS 16 MAR 31 CA/CAplus and CASREACT patent number format for U.S. applications updated
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NEWS 18 MAR 31 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 19 APR 04 STN AnaVist, Version 1, to be discontinued
NEWS 20 APR 15 WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS 21 APR 28 EMBASE Controlled Term thesaurus enhanced
NEWS 22 APR 28 IMSRESEARCH reloaded with enhancements

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
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NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
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FILE 'HOME' ENTERED AT 09:59:05 ON 12 MAY 2008

=> file registry		SINCE FILE	TOTAL
COST IN U.S. DOLLARS		ENTRY	SESSION
FULL ESTIMATED COST		0.21	0.21

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STRUCTURE FILE UPDATES: 11 MAY 2008 HIGHEST RN 1020256-26-1
DICTIONARY FILE UPDATES: 11 MAY 2008 HIGHEST RN 1020256-26-1

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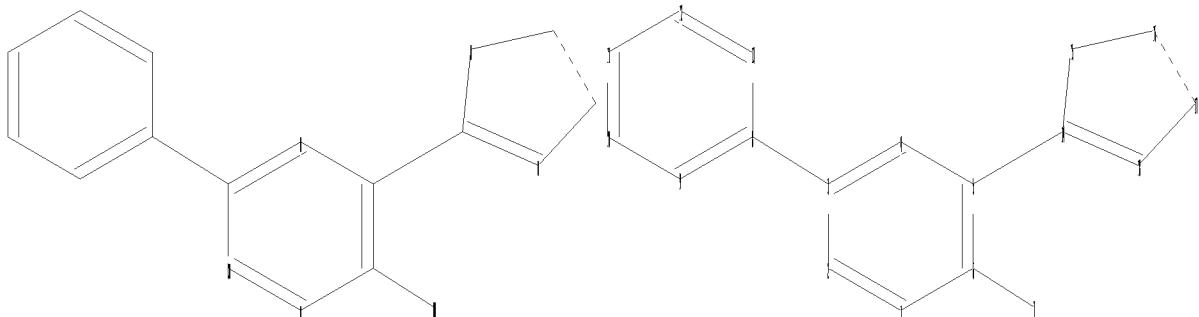
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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=>
Uploading C:\Program Files\Stnexp\Queries\10 series\10549972\10549972b.str



chain nodes :

7

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18

chain bonds :

3-8 5-14 6-7

ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-18

15-16 16-17 17-1

exact/norm bonds :

6-7 14-15 1

3-8 5-14

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13

isolated ring systems :

containing 8 :

Match level :

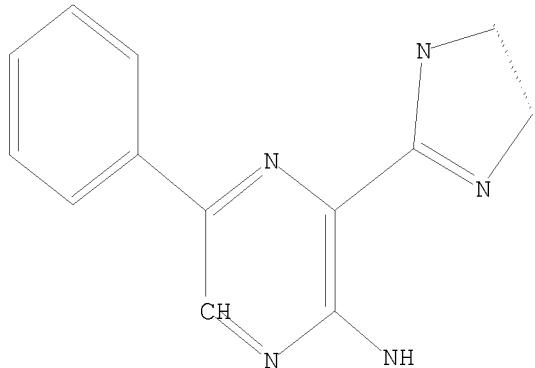
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11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 09:59:31 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 80 TO ITERATE

100.0% PROCESSED 80 ITERATIONS
SEARCH TIME: 00.00.01

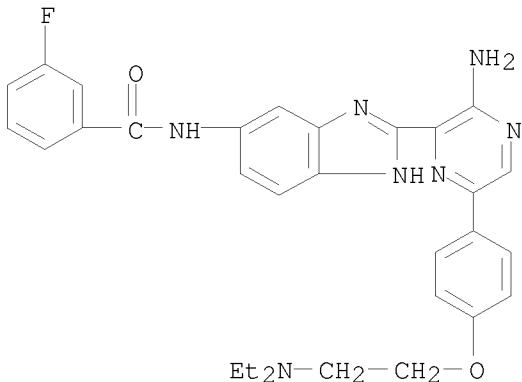
7 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1064 TO 2136
PROJECTED ANSWERS: 7 TO 298

L2 7 SEA SSS SAM L1

=> d scan

L2 7 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Benzamide, N-[2-[3-amino-6-[4-[2-(diethylamino)ethoxy]phenyl]-2-pyrazinyl]-1H-benzimidazol-6-yl]-3-fluoro-
MF C30 H30 F N7 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

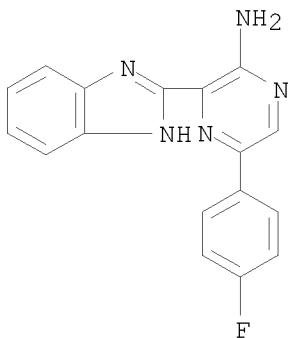
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 FULL SCREEN SEARCH COMPLETED - 1456 TO ITERATE

100.0% PROCESSED 1456 ITERATIONS 80 ANSWERS
 SEARCH TIME: 00.00.01

L3 80 SEA SSS FUL L1

=> d scan

L3 80 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
 IN 2-Pyrazinamine, 3-(1H-benzimidazol-2-yl)-5-(4-fluorophenyl)-
 MF C17 H12 F N5



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus
 COST IN U.S. DOLLARS SINCE FILE TOTAL

FULL ESTIMATED COST	ENTRY	SESSION
	178.82	179.03

FILE 'CAPLUS' ENTERED AT 10:00:10 ON 12 MAY 2008
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FILE LAST UPDATED: 11 May 2008 (20080511/ED)

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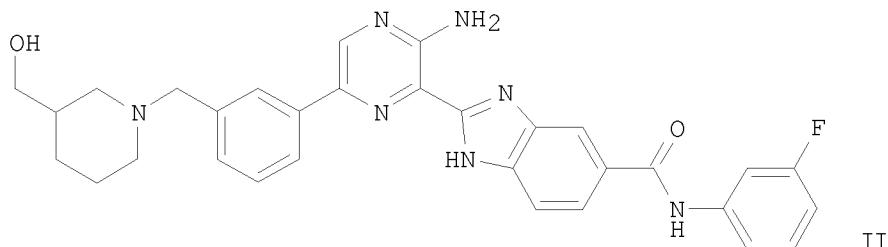
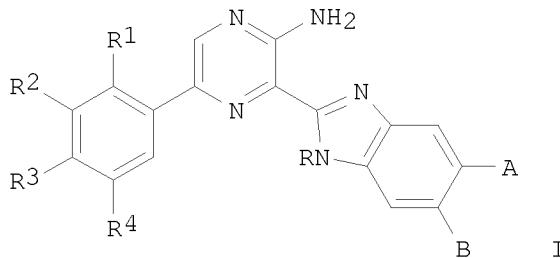
<http://www.cas.org/infopolicy.html>

=> s 13
L4 4 L3

=> d 14 1-4 ibib abs hitstr

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:410663 CAPLUS
DOCUMENT NUMBER: 148:403249
TITLE: Preparation of pyrazine derivatives as Aurora kinase A and/or B inhibitors
INVENTOR(S): Walmsley, Lee David; Drysdale, Martin James; Chen, Ijen
PATENT ASSIGNEE(S): Vernalis (R & D) Limited, UK
SOURCE: PCT Int. Appl., 55pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008038010	A1	20080403	WO 2007-GB3687	20070928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				



AB Title compds. represented by the formula I [wherein R1-R5 = independently H, OH, alkyl, etc.; R = H or alkyl; A, B = H or -Z-Ar; Z = -C(=O)-NH-, -NH-C(=O)-, -C(=O)-N(-CH3)- or -N(-CH3)-C(=O)-; Ar = (un)substituted (hetero)aryl; and pharmaceutically acceptable salts, hydrates or solvates thereof] were prepared as inhibitors of Aurora kinase A and/or B. For example, II was provided in a multi-step synthesis starting from the reaction of Me 3-amino-6-bromopyrazine-2-carboxylate with 3-(hydroxymethyl)benzoic acid. I were tested for cellular responses to Aurora inhibition and flow cytometry assay. Thus, I and their pharmaceutical compns. are useful as inhibitors of Aurora kinase A and/or B for the treatment of the condition responsive to inhibition of Aurora Kinase activity is a hyperproliferative disease such as cancer.

IT 1015728-79-6P, 2-[3-Amino-6-[3-[(3-hydroxymethylpiperidin-1-yl)methyl]phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015728-87-6P, 2-[3-Amino-6-[3-[(ethyl(2-hydroxyethyl)amino)methyl]phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015728-95-6P, 2-[3-Amino-6-[3-[(piperidin-1-yl)methyl]phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015729-34-6P, 2-[3-Amino-6-[3-[2-[ethyl(2-hydroxyethyl)amino]ethyl]phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015729-42-6P, 2-[3-Amino-6-[3-[2-(4-hydroxymethylpiperidin-1-yl)ethyl]phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015729-50-6P, 2-[3-Amino-6-[3-[2-(3-hydroxymethylpiperidin-1-yl)ethyl]phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015729-58-4P 1015729-66-4P 1015729-73-3P 1015729-81-3P 1015729-89-1P 1015729-97-1P 1015730-05-8P 1015730-21-8P 1015730-28-5P 1015730-35-4P 1015730-43-4P 1015730-50-3P 1015730-57-0P 1015730-65-0P 1015730-81-0P 1015730-89-8P 1015730-97-8P 1015731-05-1P 1015731-13-1P 1015731-20-0P 1015731-27-7P 1015731-35-7P 1015731-43-7P

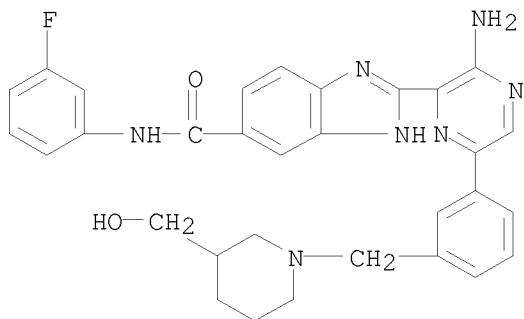
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1015733-10-4P 1015733-18-2P 1015733-26-2P
1015733-34-2P 1015733-42-2P 1015733-57-9P
1015750-03-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazine derivs. as Aurora kinase A and/or B inhibitors)

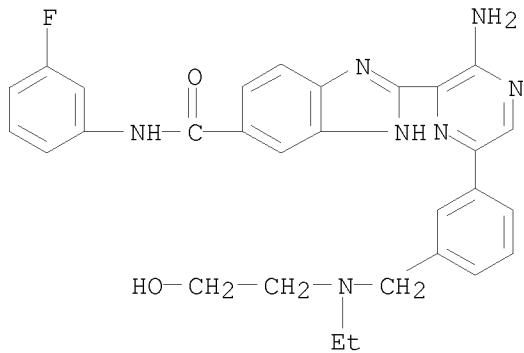
RN 1015728-79-6 CAPLUS

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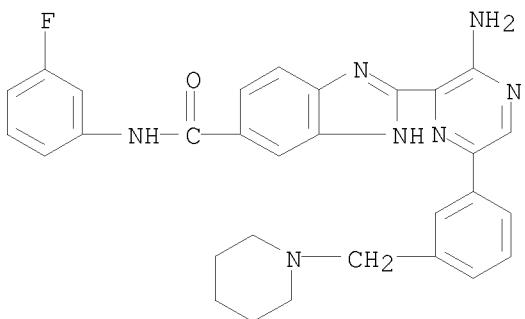
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CN INDEX NAME NOT YET ASSIGNED

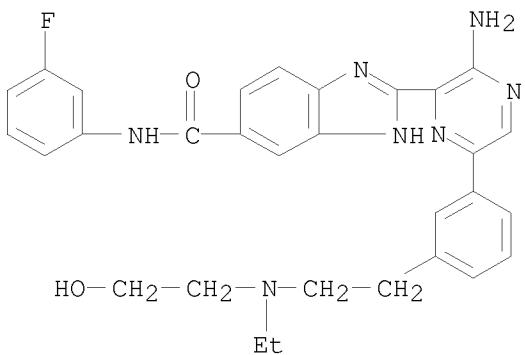


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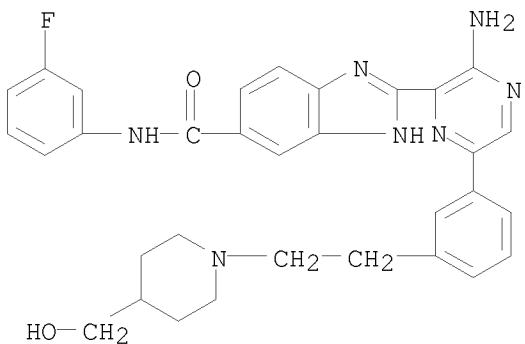
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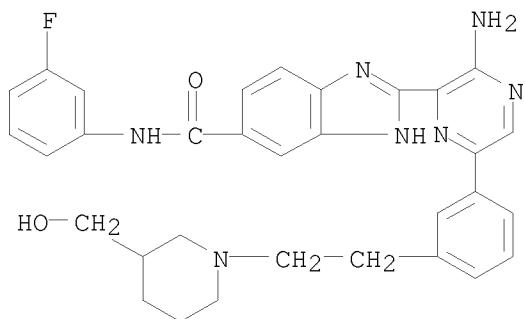
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CN INDEX NAME NOT YET ASSIGNED



RN 1015729-42-6 CAPLUS
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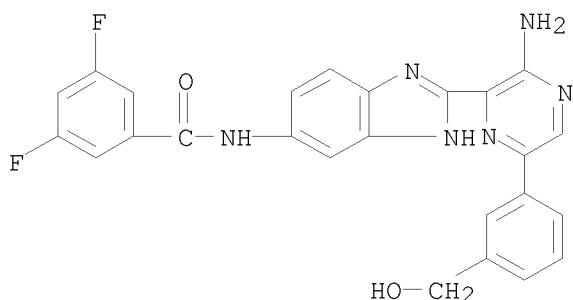


RN 1015729-50-6 CAPLUS
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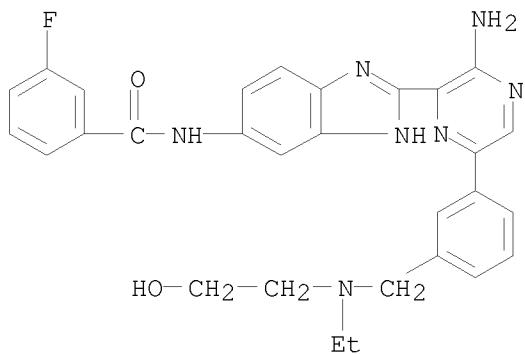
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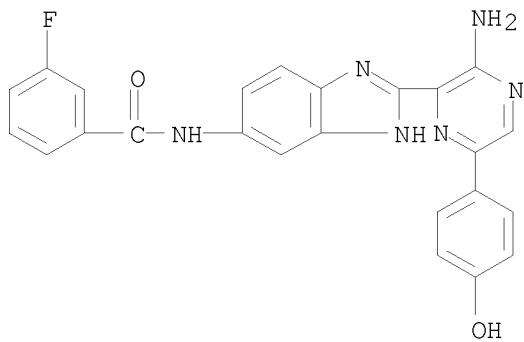
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CN INDEX NAME NOT YET ASSIGNED



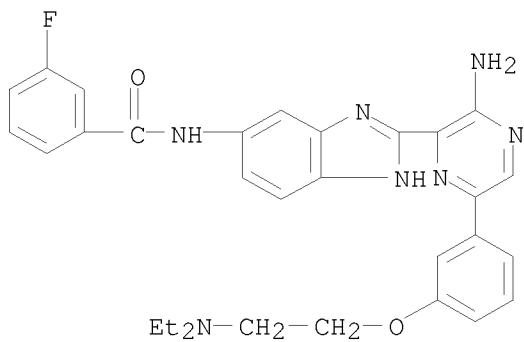
RN 1015729-73-3 CAPLUS

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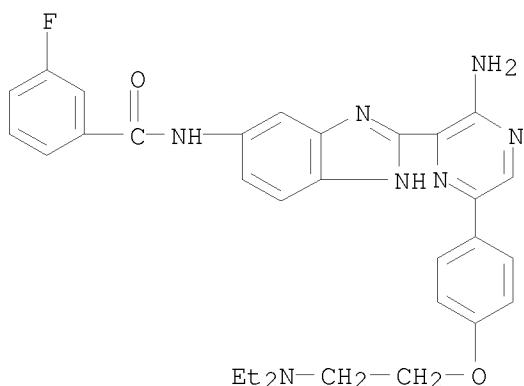
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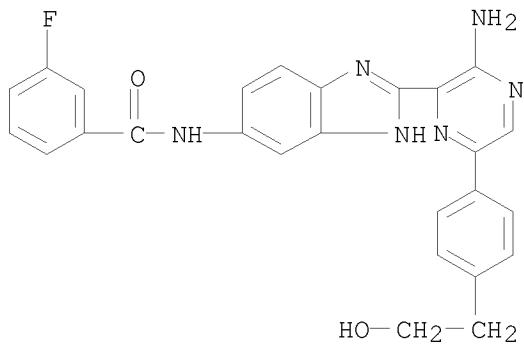
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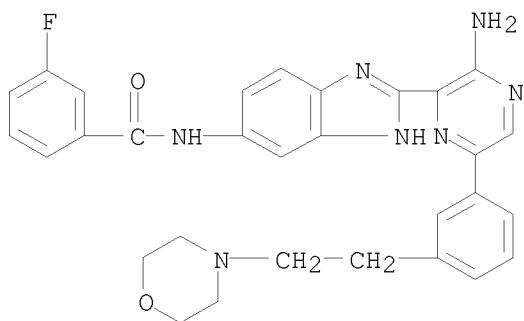
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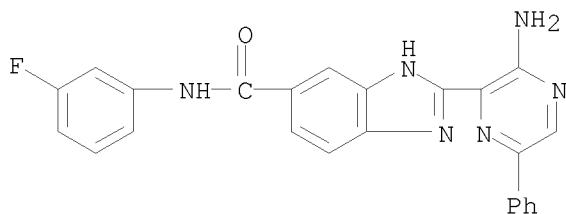
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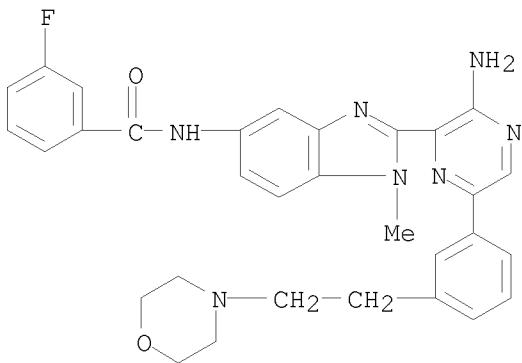
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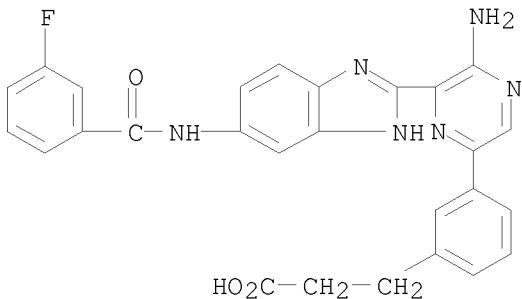
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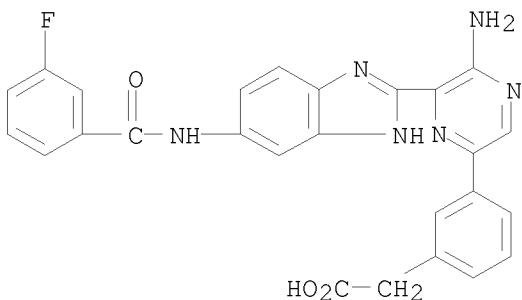
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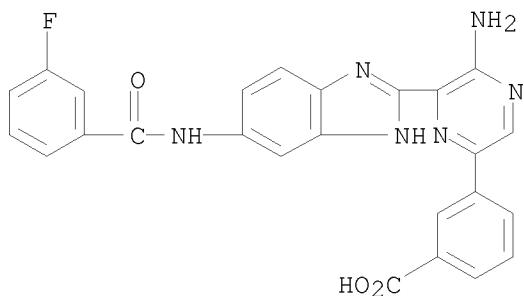
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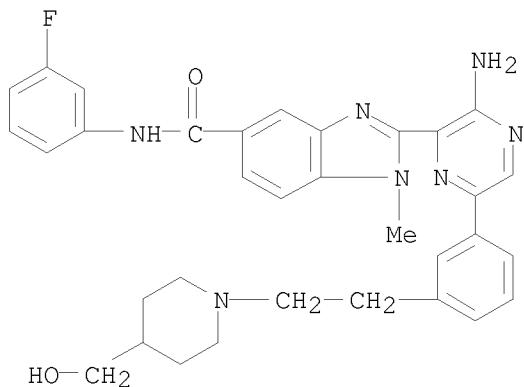


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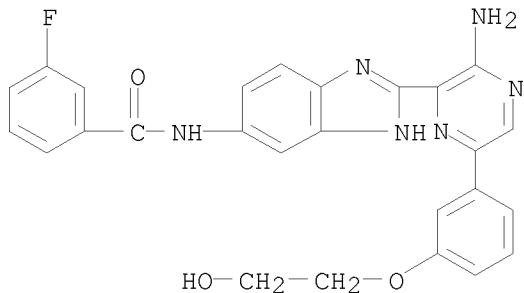
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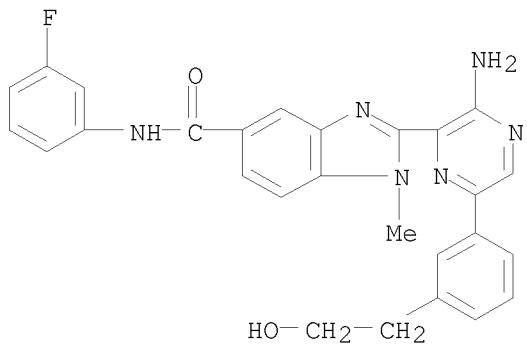
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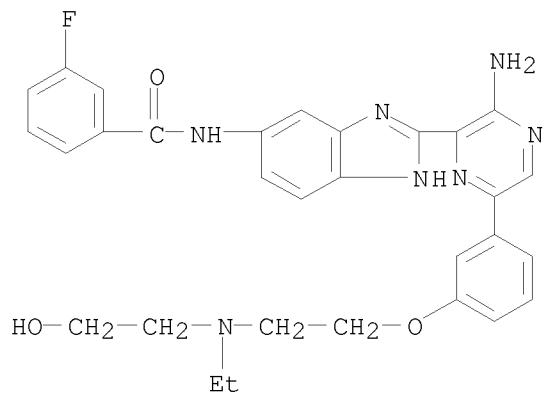
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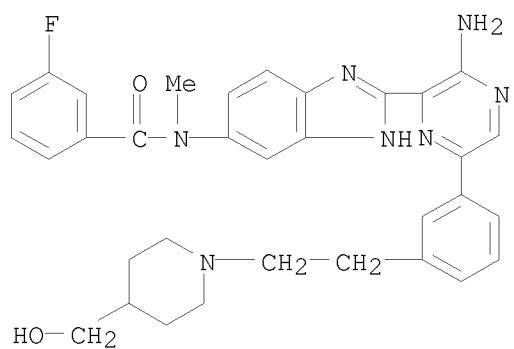
RN 1015730-81-0 CAPLUS
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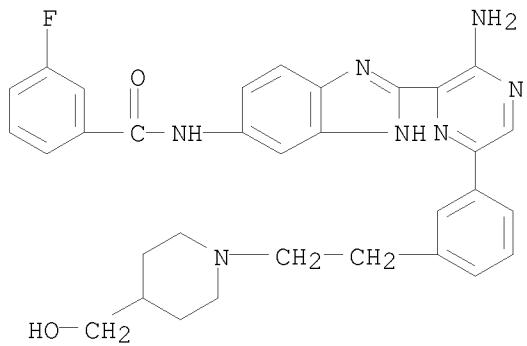
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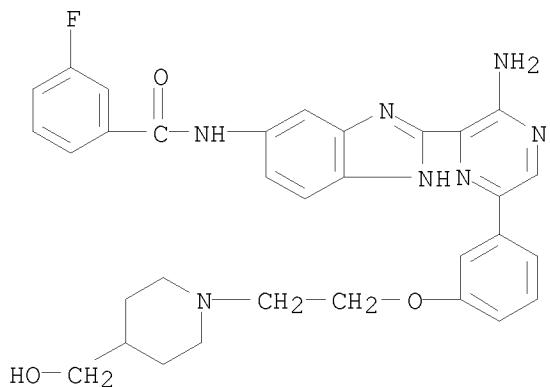
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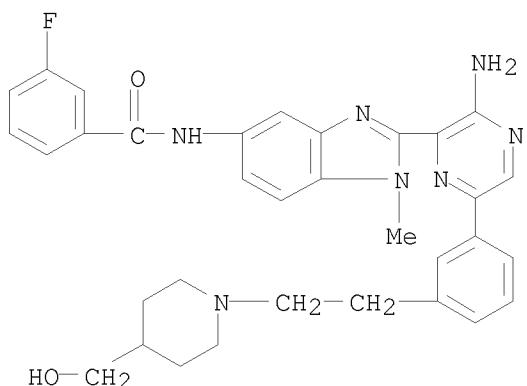
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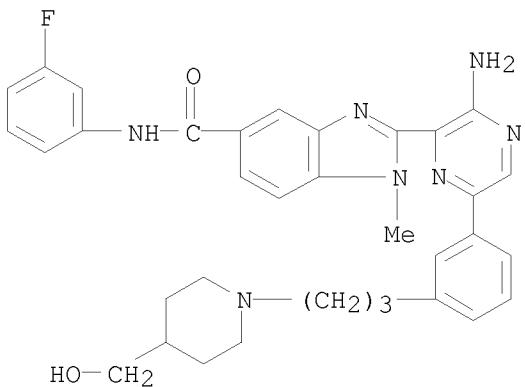
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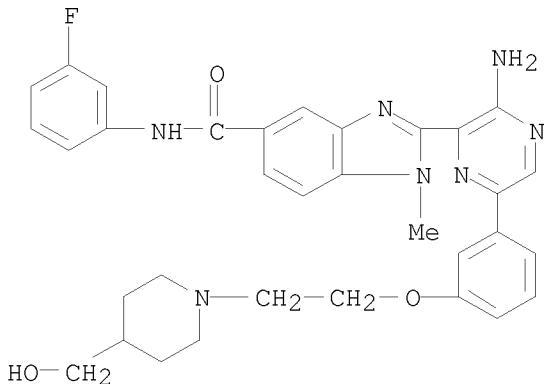
RN 1015731-20-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



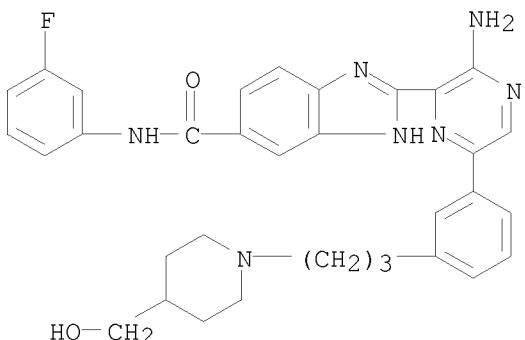
RN 1015731-27-7 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[3-amino-6-[3-[4-(hydroxymethyl)-1-piperidinyl]propyl]phenyl]-2-pyrazinyl-N-(3-fluorophenyl)-1-methyl- (CA INDEX NAME)



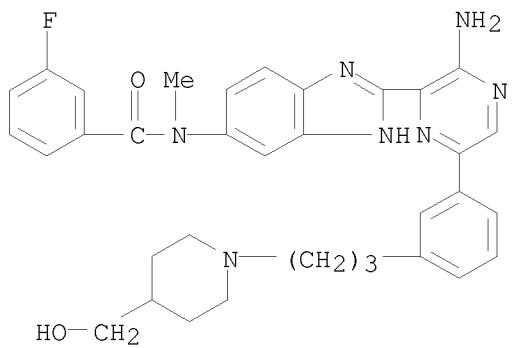
RN 1015731-35-7 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED



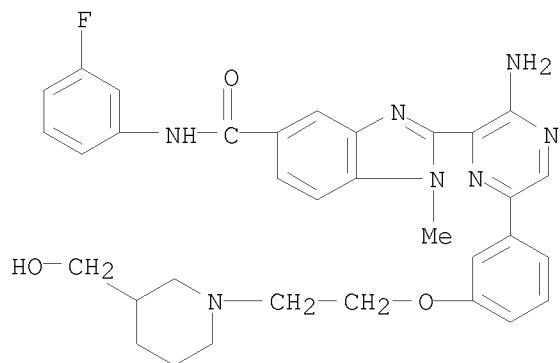
RN 1015731-43-7 CAPLUS
 CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-[3-[4-(hydroxymethyl)-1-piperidinyl]propyl]phenyl]-2-pyrazinyl-N-(3-fluorophenyl)- (CA INDEX NAME)



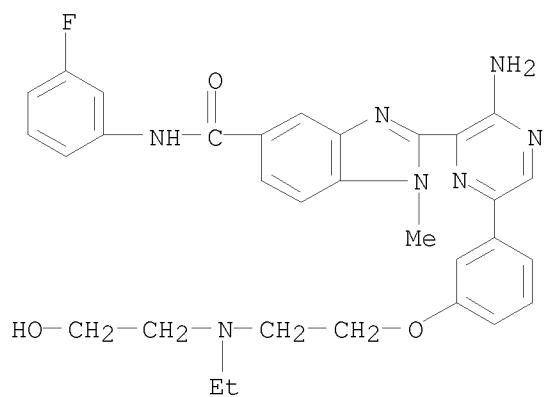
RN 1015731-51-7 CAPLUS
 CN Benzamide, N-[2-[3-amino-6-[3-[4-(hydroxymethyl)-1-piperidinyl]propyl]phenyl]-2-pyrazinyl]-1H-benzimidazol-6-yl]-3-fluoro-N-methyl- (CA INDEX NAME)



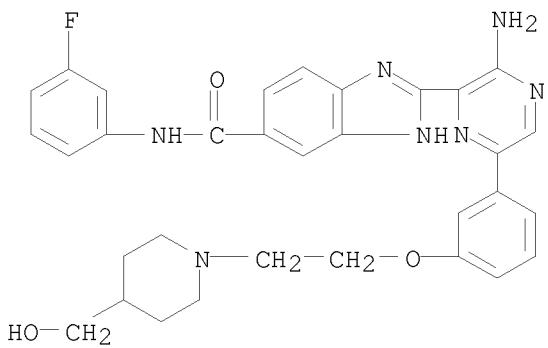
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CN INDEX NAME NOT YET ASSIGNED



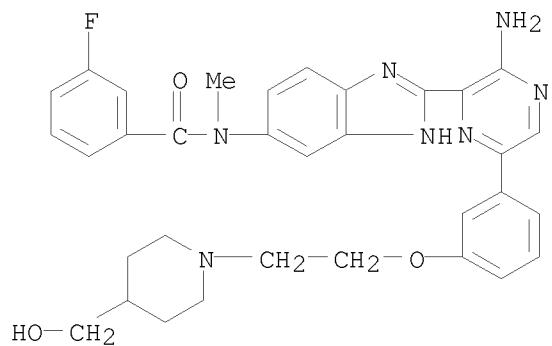
RN 1015731-66-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



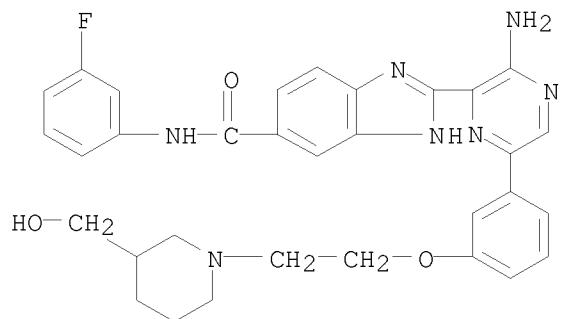
RN 1015731-73-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



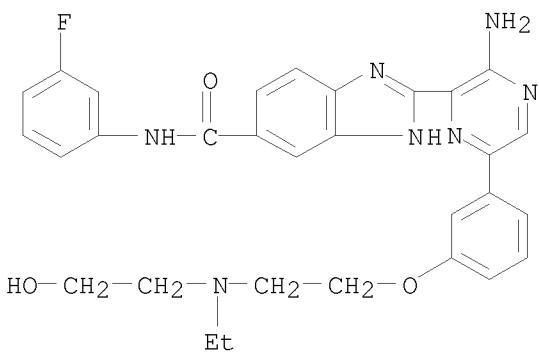
RN 1015731-81-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



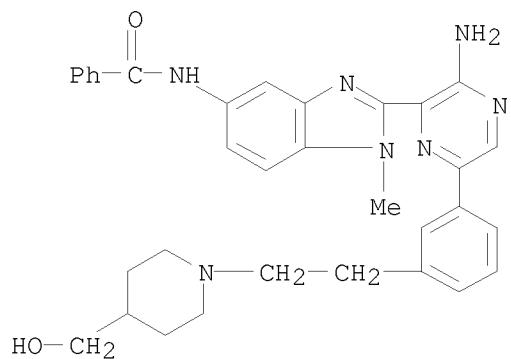
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CN INDEX NAME NOT YET ASSIGNED



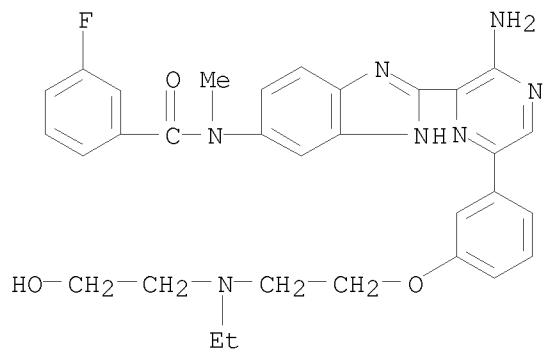
RN 1015731-97-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



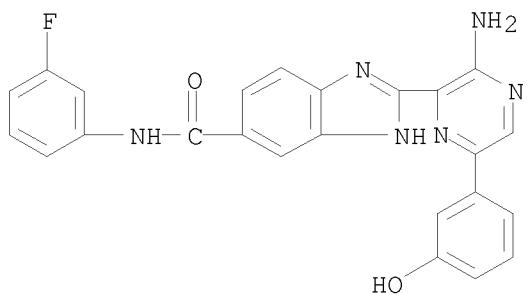
RN 1015732-03-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



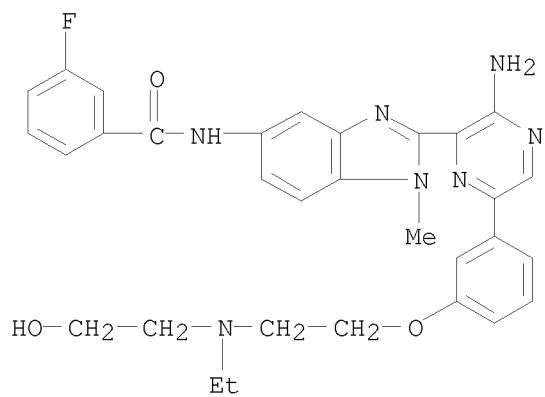
RN 1015732-10-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



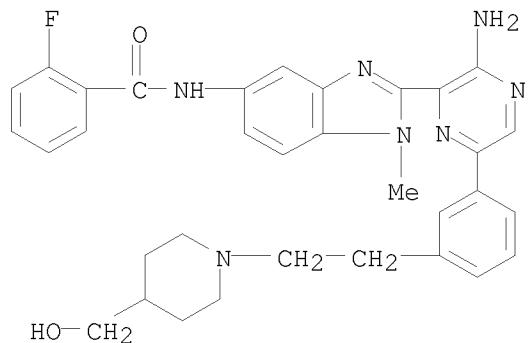
RN 1015732-18-9 CAPLUS
CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-(3-hydroxyphenyl)-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



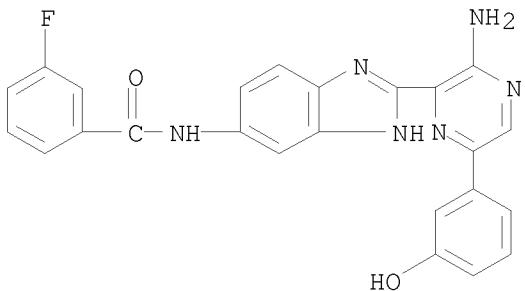
RN 1015732-26-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1015732-33-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

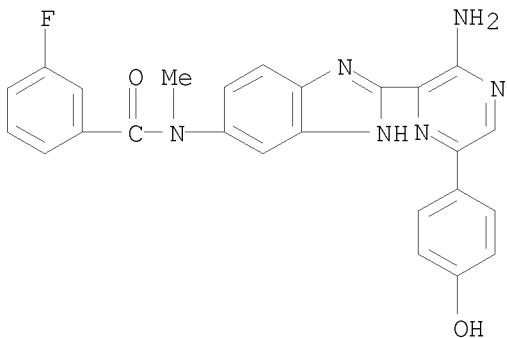


RN 1015732-41-8 CAPLUS
CN Benzamide, N-[2-[3-amino-6-(3-hydroxyphenyl)-2-pyrazinyl]-1H-benzimidazol-6-yl]-3-fluoro- (CA INDEX NAME)



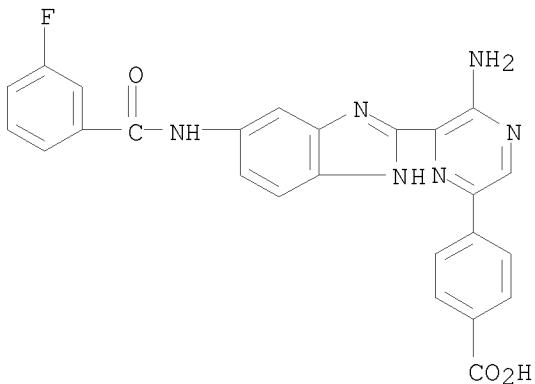
RN 1015732-49-6 CAPLUS

CN Benzamide, N-[2-[3-amino-6-(4-hydroxyphenyl)-2-pyrazinyl]-1H-benzimidazol-6-yl]-3-fluoro-N-methyl- (CA INDEX NAME)



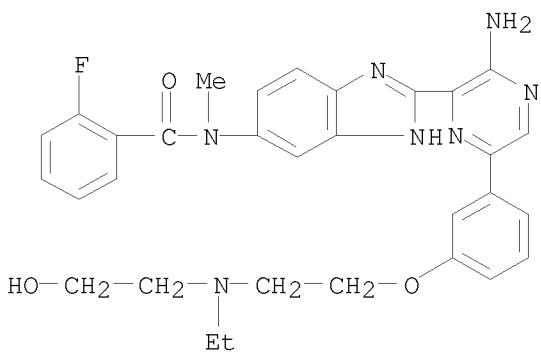
RN 1015732-57-6 CAPLUS

CN Benzoic acid, 4-[5-amino-6-[6-[(3-fluorobenzoyl)amino]-1H-benzimidazol-2-yl]-2-pyrazinyl]- (CA INDEX NAME)

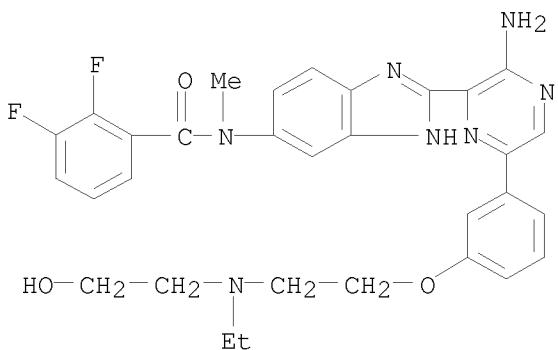


RN 1015732-65-6 CAPLUS

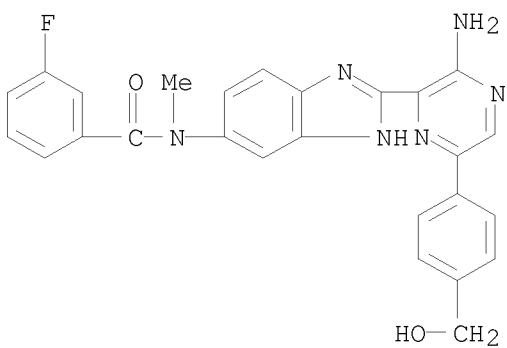
CN INDEX NAME NOT YET ASSIGNED



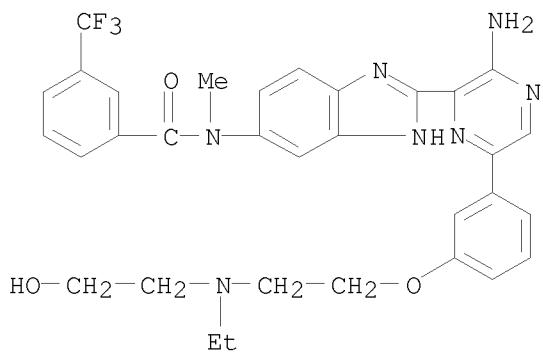
RN 1015732-73-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



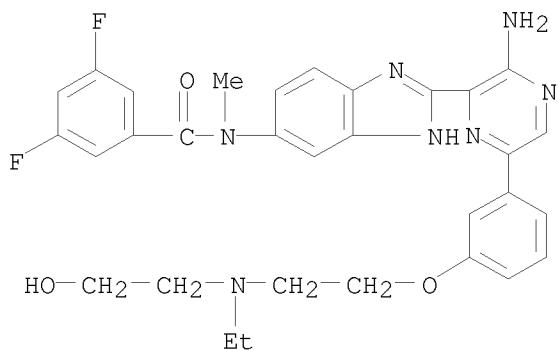
RN 1015732-80-5 CAPLUS
CN Benzamide, N-[2-[3-amino-6-[4-(hydroxymethyl)phenyl]-2-pyrazinyl]-1H-benzimidazol-6-yl]-3-fluoro-N-methyl- (CA INDEX NAME)



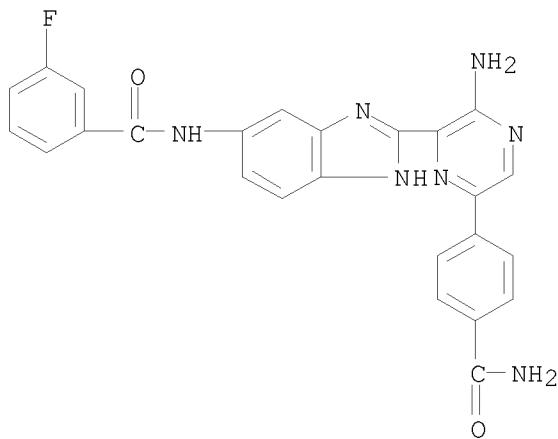
RN 1015732-87-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



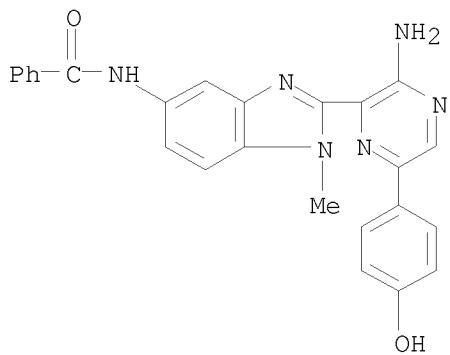
RN 1015732-95-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1015733-03-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

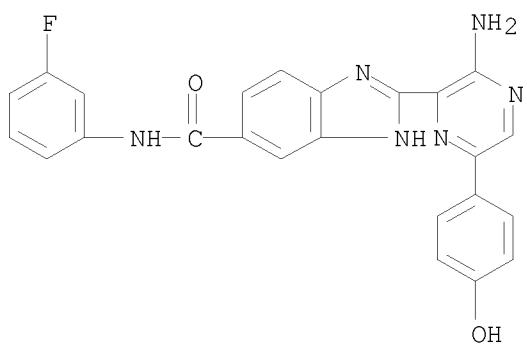


RN 1015733-10-4 CAPLUS
CN Benzamide, N-[2-[3-amino-6-(4-hydroxyphenyl)-2-pyrazinyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 1015733-18-2 CAPLUS

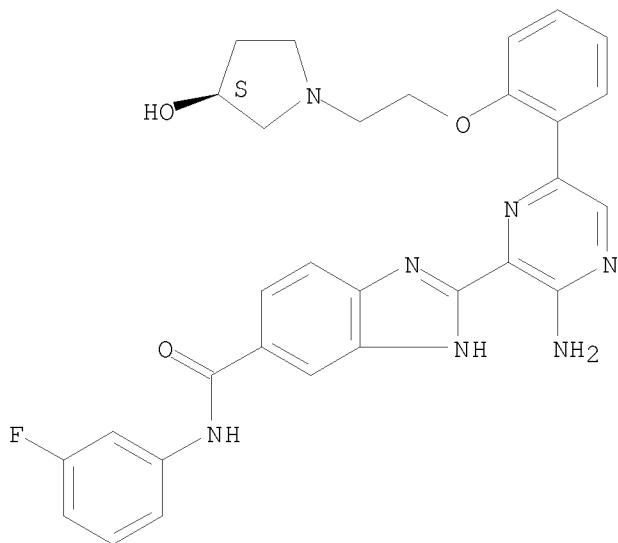
CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-(4-hydroxyphenyl)-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



RN 1015733-26-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

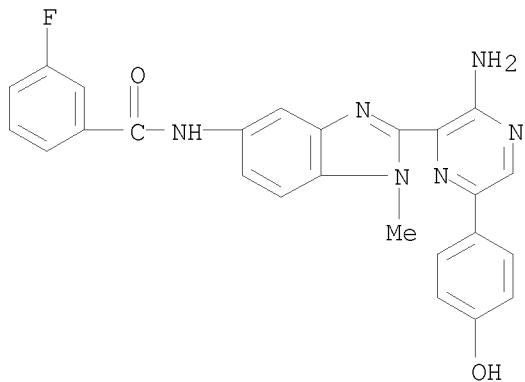
Absolute stereochemistry.



RN 1015733-34-2 CAPLUS

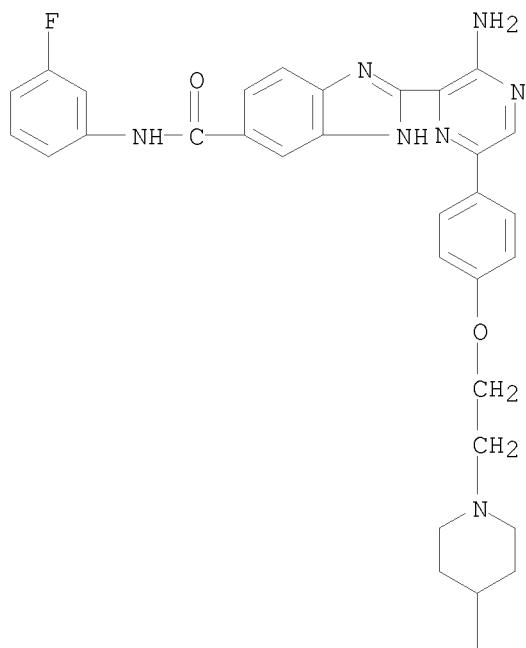
CN Benzamide, N-[2-[3-amino-6-(4-hydroxyphenyl)-2-pyrazinyl]-1-methyl-1H-

benzimidazol-5-yl]-3-fluoro- (CA INDEX NAME)

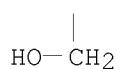


RN 1015733-42-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

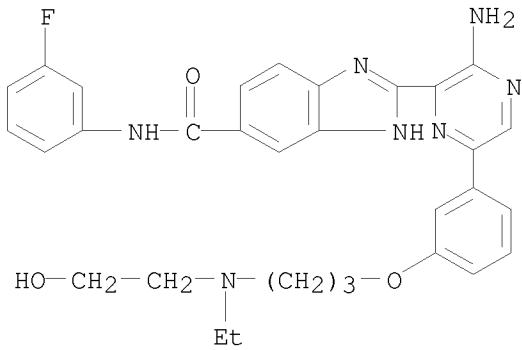
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PAGE 2-A

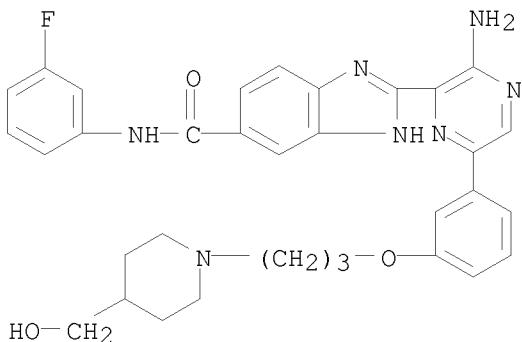


RN 1015733-57-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1015750-03-4 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-[3-[4-(hydroxymethyl)-1-piperidinyl]propoxy]phenyl]-2-pyrazinyl-N-(3-fluorophenyl)- (CA INDEX NAME)



IT 1015728-71-8P, 2-[3-Amino-6-(3-formylphenyl)pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide

1015729-18-6P, 2-[3-Amino-6-[3-(2-hydroxyethyl)phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide

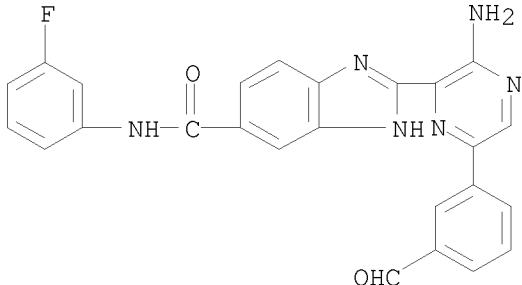
1015729-26-6P, 2-[3-Amino-6-[3-(2-oxoethyl)phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazine derivs. as Aurora kinase A and/or B inhibitors)

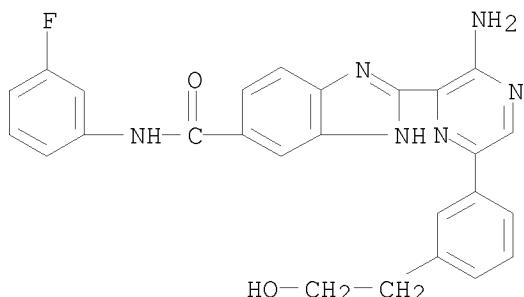
RN 1015728-71-8 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-(3-formylphenyl)-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



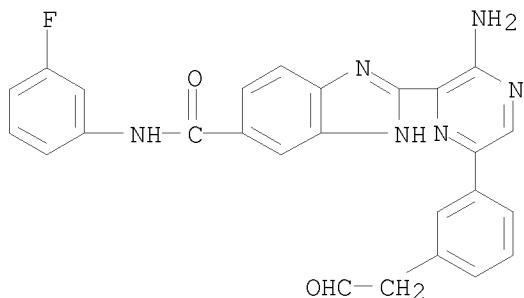
RN 1015729-18-6 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-[3-(2-hydroxyethyl)phenyl]-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



RN 1015729-26-6 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-[3-(2-oxoethyl)phenyl]-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:558540 CAPLUS

DOCUMENT NUMBER: 145:62865

TITLE: Preparation of 1H-pyrrolo[2,3-b]pyridines as inhibitors of serum and glucocorticoid-regulated kinase 1 (SGK-1)

INVENTOR(S): Frazee, James S.; Hammond, Marlys; Kano, Kazuya; Manns, Sharada; Nakamura, Hiroko; Thompson, Scott Kevin; Washburn, David G.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006063167	A1	20060615	WO 2005-US44485	20051208
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,				

MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

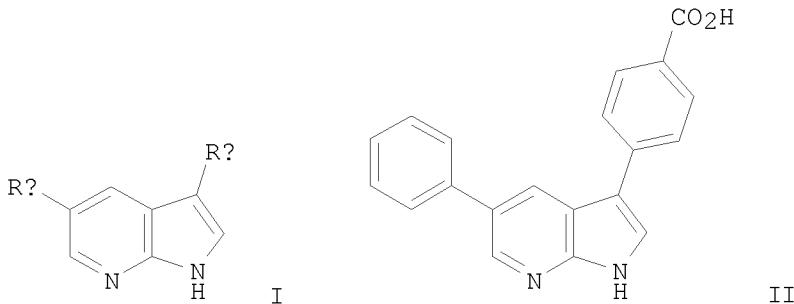
EP 1828180 A1 20070905 EP 2005-853413 20051208

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR

PRIORITY APPLN. INFO.: US 2004-634149P P 20041208
WO 2005-US44485 W 20051208

OTHER SOURCE(S): MARPAT 145:62865

GI



AB Title compds. I [wherein Ra, Rb = (un)substituted Ph, pyridinyl, thiophenyl, etc.] and pharmaceutically acceptable salts or solvates thereof were prepared as SGK-1 kinase inhibitors. For instance, successive coupling reaction of 5-bromo-1H-pyrrolo[2,3-b]pyridine with phenylboronic acid (99%), bromination in the 3-position of the pyrrolopyridine ring with Br2, N-protection with TsCl (68% for two steps), coupling with 4-carboxyphenylboronic acid, and deprotection with NaOH (60%) gave benzoic acid II. I were found to have SGK-1 inhibitory activity with IC50 values of below 1.5 μ M in a FR assay. Therefore, I and their pharmaceutical compns. are useful for the treatment of diseases mediated by SGK-1, such as renal and cardiovascular disease.

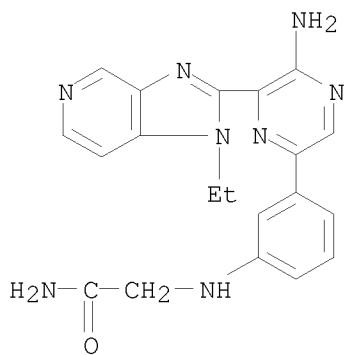
IT 890843-32-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of rhodamine-containing glycinamide as substrate in the enzymic assay of pyrrolopyridines as inhibitors of serum and glucocorticoid-regulated kinase 1 (SGK-1))

RN 890843-32-0 CAPLUS

CN Acetamide, 2-[(3-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]phenyl]amino]- (CA INDEX NAME)



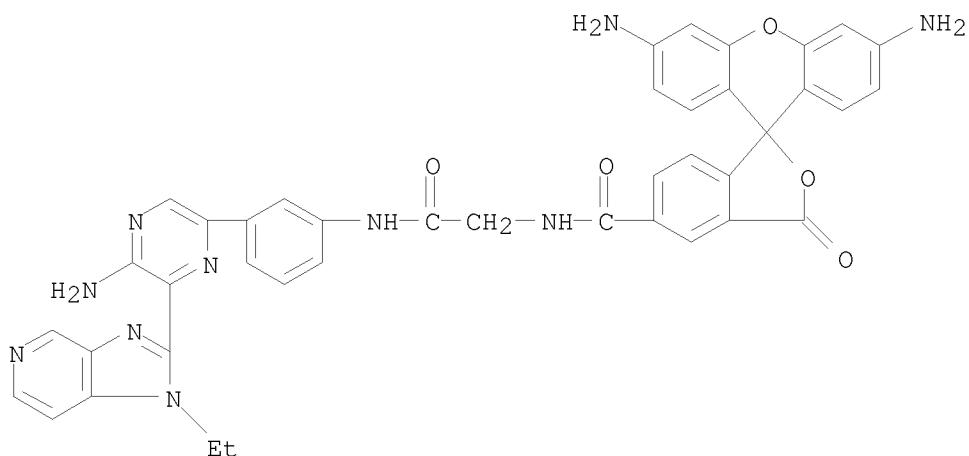
IT 890843-34-2P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);
 BIOL (Biological study); PREP (Preparation)
 (substrate; preparation of rhodamine-containing glycinate as substrate in
 the

enzymic assay of pyrrolopyridines as inhibitors of serum and
 glucocorticoid-regulated kinase 1 (SGK-1))

RN 890843-34-2 CAPLUS

CN Spiro[isobenzofuran-1(3H),9'-(9H)xanthene]-5-carboxamide,
 3',6'-diamino-N-[2-[(3-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-
 2-pyrazinyl]phenyl)amino]-2-oxoethyl]-3-oxo- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:817641 CAPLUS

DOCUMENT NUMBER: 141:332217

TITLE: Preparation of aminopyrazine derivatives as ROCK
 kinase inhibitors

INVENTOR(S): Alberti, Michael John; Drewry, David Harold; Miller,
 David Drysdale; Bamborough, Paul

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

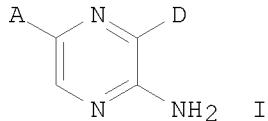
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004084813	A2	20041007	WO 2004-US8301	20040318
WO 2004084813	A3	20050217		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1606266	A2	20051221	EP 2004-757813	20040318
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
JP 2006520794	T	20060914	JP 2006-507319	20040318
US 20060084651	A1	20060420	US 2005-549972	20050920
PRIORITY APPLN. INFO.:			US 2003-456872P	P 20030321
			WO 2004-US8301	W 20040318

OTHER SOURCE(S): MARPAT 141:332217

GI



AB The title compds. [I; A = (hetero)aryl, alkenyl, CN, etc.; D = (un)substituted benzimidazolyl, imidazopyridinyl, etc.], useful in the treatment of diseases associated with inappropriate tyrosine and/or serine/threonine kinase activity, were prepared. E.g., two alternate methods of preparing 3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-amine, were given. All 26 exemplified compds. I showed inhibitory activity vs. Rock-1 with pIC₅₀ of 5.0 or greater.

IT 769967-87-5P, 3-(1-Ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-phenylpyrazin-2-amine 769967-88-6P, 3-(1-Ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-(3,4,5-trimethoxyphenyl)pyrazin-2-amine 769967-89-7P, 3-(1-Ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-(4-fluorophenyl)pyrazin-2-amine 769967-91-1P, 5-(4-Aminophenyl)-3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-amine 769967-95-5P, 3-(1H-Benzimidazol-2-yl)-5-(3-fluorophenyl)pyrazin-2-amine 769967-96-6P, 3-(1H-Benzimidazol-2-yl)-5-(4-fluorophenyl)pyrazin-2-amine 769967-97-7P, 4-[5-Amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-yl]-N,N-dimethylbenzenesulfonamide 769967-98-8P, 3-(1-Ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-[3-(methylsulfonyl)phenyl]pyrazin-2-amine 769967-99-9P, 3-[4-[5-Amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-yl]phenyl]propanoic acid 769968-00-5P, [4-[5-Amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-yl]phenoxy]acetic acid 769968-01-6P, [3-[5-Amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-yl]phenoxy]acetic acid 769968-02-7P 769968-03-8P, Benzyl 4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-yl]benzoate 769968-04-9P,

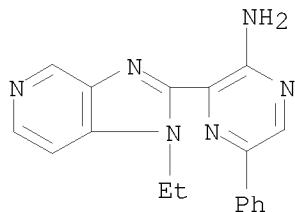
5-[4-(Benzylxy)phenyl]-3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-amine 769968-05-0P, 5-[1,1'-Biphenyl-3-yl]-3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-amine 769968-06-1P
769968-07-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminopyrazine derivs. as ROCK kinase inhibitors)

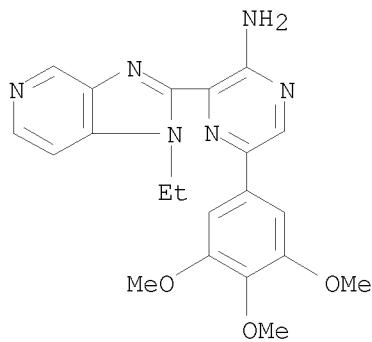
RN 769967-87-5 CAPLUS

CN 2-Pyrazinamine, 3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-phenyl- (CA INDEX NAME)



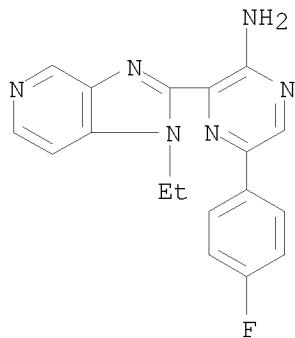
RN 769967-88-6 CAPLUS

CN 2-Pyrazinamine, 3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



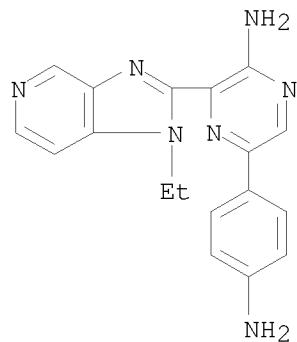
RN 769967-89-7 CAPLUS

CN 2-Pyrazinamine, 3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-(4-fluorophenyl)- (CA INDEX NAME)



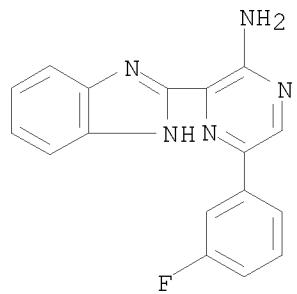
RN 769967-91-1 CAPLUS

CN 2-Pyrazinamine, 5-(4-aminophenyl)-3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)- (CA INDEX NAME)



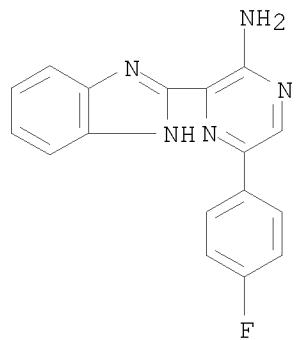
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CN 2-Pyrazinamine, 3-(1H-benzimidazol-2-yl)-5-(3-fluorophenyl)- (CA INDEX NAME)



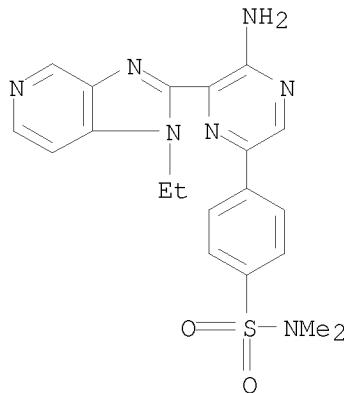
RN 769967-96-6 CAPLUS

CN 2-Pyrazinamine, 3-(1H-benzimidazol-2-yl)-5-(4-fluorophenyl)- (CA INDEX NAME)

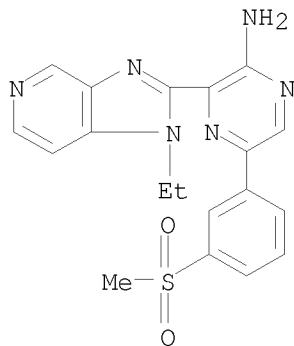


RN 769967-97-7 CAPLUS

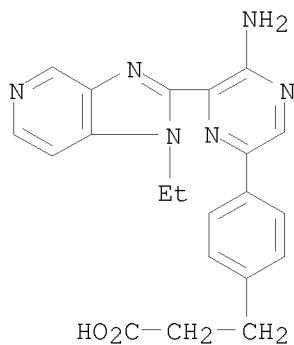
CN Benzenesulfonamide, 4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]-N,N-dimethyl- (CA INDEX NAME)



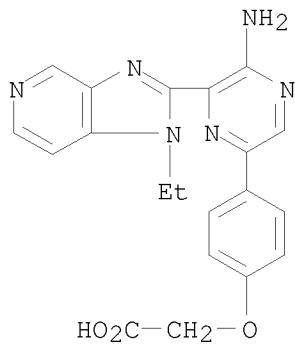
RN 769967-98-8 CAPLUS
 CN 2-Pyrazinamine, 3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-[3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



RN 769967-99-9 CAPLUS
 CN Benzenepropanoic acid, 4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]- (CA INDEX NAME)

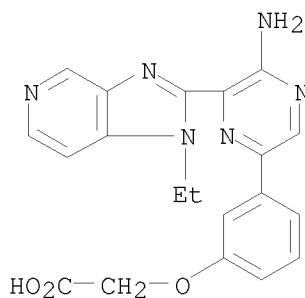


RN 769968-00-5 CAPLUS
 CN Acetic acid, 2-[(4-[(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)amino]phenyl)acetoxy]- (CA INDEX NAME)



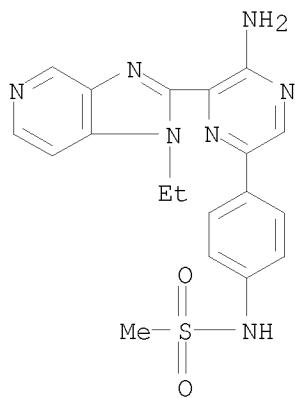
RN 769968-01-6 CAPLUS

CN Acetic acid, 2-[3-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]phenoxy]- (CA INDEX NAME)



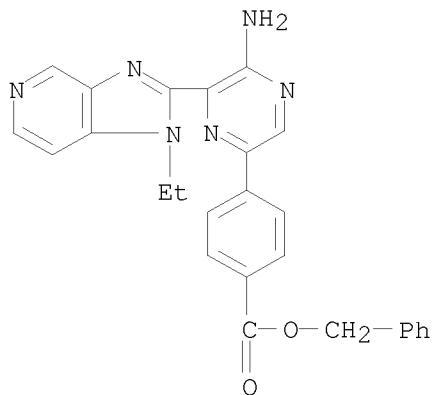
RN 769968-02-7 CAPLUS

CN Methanesulfonamide, N-[4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]phenyl]- (CA INDEX NAME)



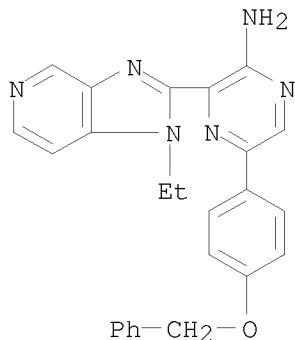
RN 769968-03-8 CAPLUS

CN Benzoic acid, 4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]-, phenylmethyl ester (CA INDEX NAME)



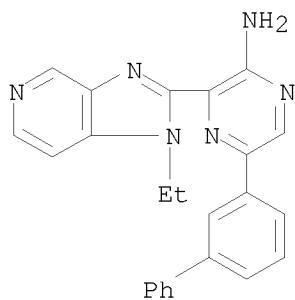
RN 769968-04-9 CAPLUS

CN 2-Pyrazinamine, 3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-[(4-phenylmethoxy)phenyl]- (CA INDEX NAME)



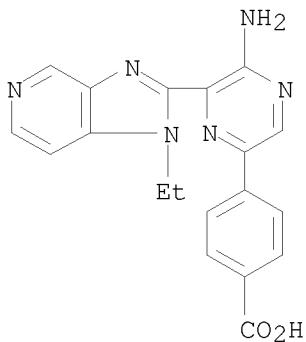
RN 769968-05-0 CAPLUS

CN 2-Pyrazinamine, 5-[(1,1'-biphenyl)-3-yl]-3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)- (CA INDEX NAME)



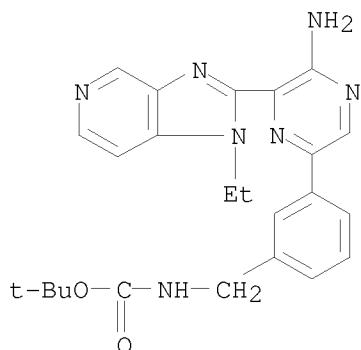
RN 769968-06-1 CAPLUS

CN Benzoic acid, 4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]- (CA INDEX NAME)



RN 769968-07-2 CAPLUS

CN Carbamic acid, [3-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazinyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:633705 CAPLUS

DOCUMENT NUMBER: 139:180070

TITLE: Preparation of 2-(4-amino-1,2,5-oxadiazol-3-yl)benzimidazoles as inhibitors of GSK-3

INVENTOR(S): Harbeson, Scott L.; Arnost, Michael J.; Green, Jeremy; Savic, Vladimir

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

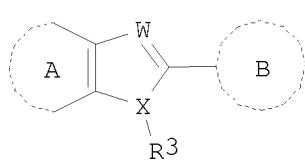
PATENT INFORMATION:

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WO 2003066629	A3	20031030		
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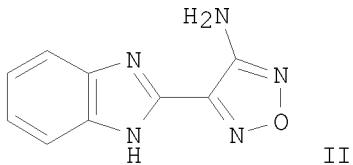
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 CA 2475633 A1 20030814 CA 2003-2475633 20030206
 AU 2003215087 A1 20030902 AU 2003-215087 20030206
 US 20040034037 A1 20040219 US 2003-360535 20030206
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 MX 2004PA07697 A 20041110 MX 2004-PA7697 20040806
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 US 20070270420 A1 20071122 US 2007-776756 20070712
 PRIORITY APPLN. INFO.: US 2002-354843P P 20020206
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OTHER SOURCE(S): MARPAT 139:180070

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II

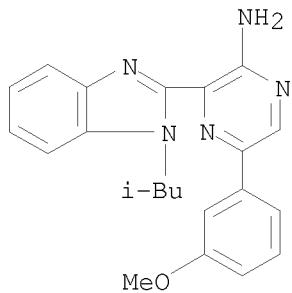
AB The title compds. [I; ring A = (un)substituted 5-7 membered (un)saturated ring having 0-3 heteroatoms, and wherein ring A is optionally fused to 5-8 membered ring having 0-3 heteroatoms; ring B = (un)substituted 5-6 membered ring having 0-4 heteroatoms; W = N, CR4; X = N, CH (wherein at least one of W and X = N); R3 = TCN, LR; T = a bond, alkylidene; L = a bond, alkylidene wherein up to two methylene units of L are replaced by O, S, CO, etc.; R4 = LR, halo, TNO2, TCN; R = H, alkyl, aryl, etc.], useful as inhibitors of GSK-3 and Lck protein kinases (biol. data given) for treating and preventing various disorders, such as diabetes, Alzheimer's disease, and transplant rejection, were prepared. Thus, reacting 1,2-phenylenediamine with Me 4-aminofurazan-3-carboximidate in the presence of ACOH in MeOH afforded 76% II. A pharmaceutical composition comprising the title compound I, was claimed.

IT 581081-40-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 2-(4-amino-1,2,5-oxadiazol-3-yl)benzimidazoles as inhibitors of GSK-3)

RN 581081-40-5 CAPLUS

CN Pyrazinamine, 5-(3-methoxyphenyl)-3-[1-(2-methylpropyl)-1H-benzimidazol-2-yl]-(9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

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FULL ESTIMATED COST

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TOTAL

ENTRY

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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